

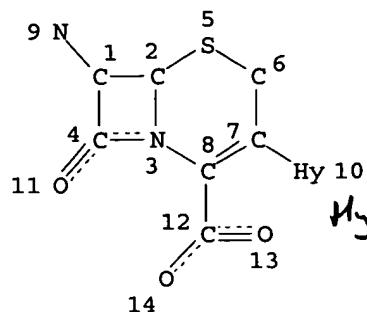
Search #1 $R_2 = R_3 = H$

M. Berch; 10/006,279

Page 1

=> d que 17

L1 STR



Initial structure allows R_2 & R_3 to be anything.

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM
GGCAT IS MCY SAT AT 10
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E4 C E1 O AT 10

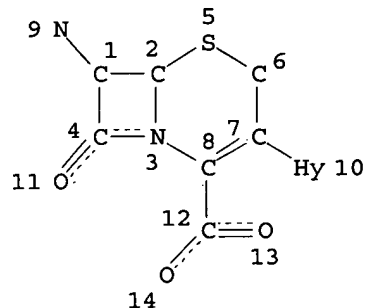
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

L3 148 SEA FILE=REGISTRY SSS FUL L1
L4 STR

Initial search produces subset of 148 hits



this structure limits $R_2 = R_3 = H$

NODE ATTRIBUTES:

CONNECT IS E1 RC AT 9
CONNECT IS E1 RC AT 14
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY SAT AT 10
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E4 C E1 O AT 10

Connectivity set to Exactly 1 @ 9&14 - remaining open positions can only be H (otherwise connect is > 1).

GRAPH ATTRIBUTES:

RSPEC I
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

L6 1 SEA FILE=REGISTRY SUB=L3 SSS FUL L4
L7 1 SEA FILE=CAPLUS ABB=ON PLU=ON L6

Generic hy @ 10 must have Exactly 4 Carbons (E4C) and exactly 1 oxygen (E1O). It must be monocyclic (MCY) and saturated (SAT).

=> D IBIB ABS HITSTR

subset search of subset L3 using str L4 produces 1 hit in Registry. Searching CAPLUS with Registry answer set also produces 1 hit.

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:449688 CAPLUS

DOCUMENT NUMBER: 137:33161

TITLE: Coupling process and intermediates useful for preparing cephalosporins

INVENTOR(S): Colberg, Juan Carlos; Donadelli, Alessandro; Fogliato, Giovanni; Zenoni, Maurizio

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

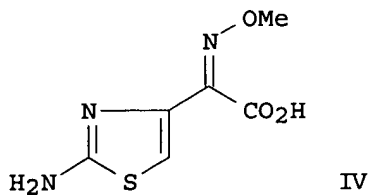
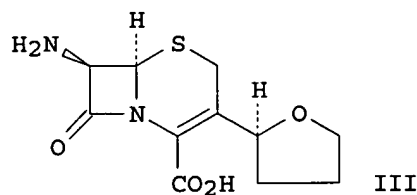
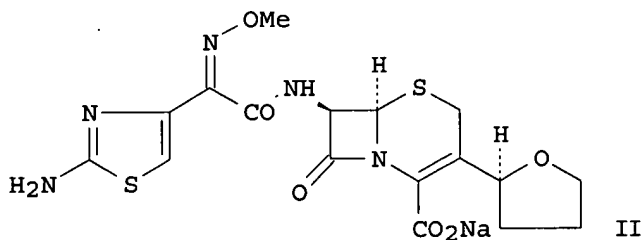
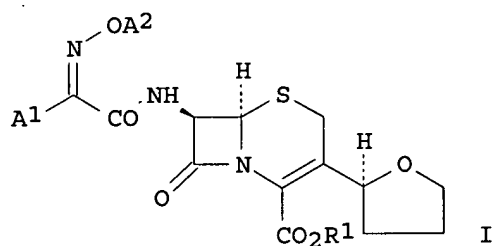
*Looks like
your applic-
ants' work.*

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046198	A1	20020613	WO 2001-IB2225	20011122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2000-251014P P 20001204

OTHER SOURCE(S): MARPAT 137:33161

GI



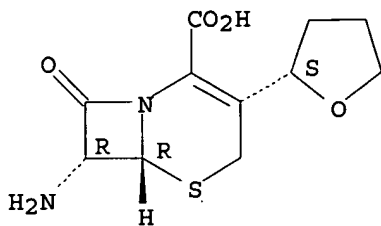
AB This invention relates to a novel process for the prepn. of 3-cyclic-ether-substituted cephalosporins, such as I [CO₂R₁ = carboxylic acid or a carboxylate salt; A₁ = aryl, heteroaryl, heterocyclyl; A₂ = H, alkyl, cycloalkyl, aryl, etc.], via amidation reactions. Thus, cephalosporin II was prepd. in 80% yield by amidation of amine III with the acid anhydride of acid IV using O,O-di-Et hydrogenphosphorothioate in a Me₂CO/H₂O soln.

IT 436100-71-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the prepn. of intermediates via amidation which are useful for prepg. cephalosporins)

RN 436100-71-9 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-amino-8-oxo-3-[(2S)-tetrahydro-2-furanyl]-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

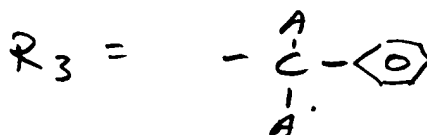


REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Search #2 - part A



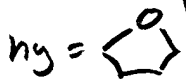
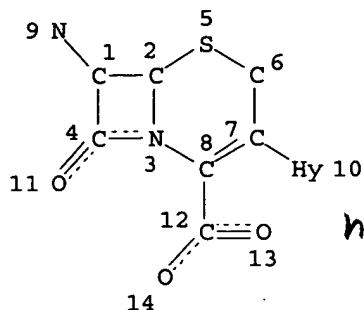
M. Berch; 10/006,279

Page 1

=> d que 16

L1

STR



Initial search same as in part 1 - $R_2 \& R_3$ left open

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM
GGCAT IS MCY SAT AT 10
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS E4 C E1 O AT 10

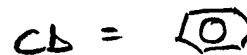
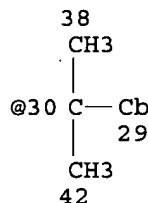
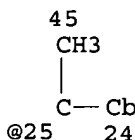
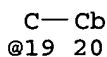
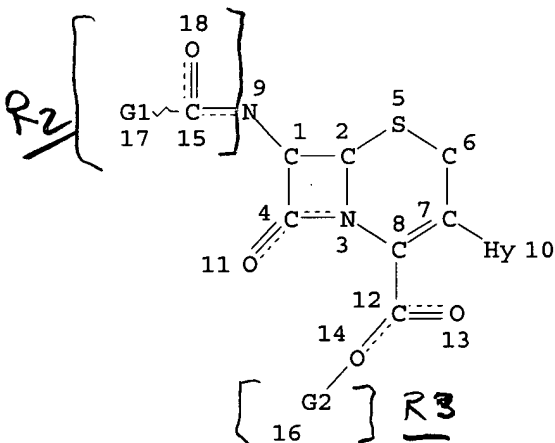
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

L2 148 SEA FILE=REGISTRY SSS FUL L1
L3 STR

Initial search results.



VAR G1=H/C/N/O

VAR G2=19/25/30

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 19

CONNECT IS E1 RC AT 25

DEFAULT MLEVEL IS ATOM

GGCAT IS MCY SAT AT 10

GGCAT IS MCY UNS AT 20

GGCAT IS MCY UNS AT 24

GGCAT IS MCY UNS AT 29

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E4 C E1 O AT 10

ECOUNT IS E6 C AT 20

ECOUNT IS E6 C AT 24

includes substructures with attachment points @ 19, 25, & 30.

- open bonds on 19 are limited to H only.

- open bond on 25 is limited to H only.

- generic hy group @ 10 is limited to being monocyclic and unsaturated.

- generic group Cb @ 20, 24, & 29 is limited to being monocyclic and unsaturated.

- Hy @ 10 has exactly 4 C and 1 O.

- Cb @ 20, 24, 30 has exactly 6 C

ECOUNT IS E6 C AT 29

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 27

STEREO ATTRIBUTES: NONE

L5 32 SEA FILE=REGISTRY SUB=L2 SSS FUL L3

L6 7 SEA FILE=HCAPLUS ABB=ON PLU=ON L5

search subset L2 with
structure L3 produces
32 hits. Searching
Hcaplus with Registry
answer set produces
7 hits.

=> D IBIB ABS HITSTR 1-7

L6 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:104661 HCAPLUS

DOCUMENT NUMBER: 136:151036

TITLE: Process for the preparation of cephalosporin compounds
and their intermediatesINVENTOR(S): Burton, George; Best, Desmond John; Gasson, Brian
Charles; Osborne, Neal Frederick; Walker, Graham

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: Eur. Pat. Appl., 22 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1178049	A1	20020206	EP 2001-306325	20010723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2002058806	A1	20020516	US 2001-918152	20010730
JP 2002105083	A2	20020410	JP 2001-233551	20010801
PRIORITY APPLN. INFO.: GI			GB 2000-19124 A	20000803

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A process for prepg. cephalosporins I (R1 = H, OMe, formamido; R2 = acyl; CO2R3 = carboxy group or CO2- or readily removable carboxy protecting group; R4 = H, or up to four substituents from alkyl, alkenyl, alkynyl, alkoxy, halogen, amino, alkyl(acyl)amino, CO2R, CONR2, SO2NR2 (R = H, C1-6 alkyl), aryl, heterocycle, etc.; X = S, SO, SO2, O, CH2; m = 1-2; dotted lines indicate a 2- or 3-cephem system) was accomplished via the cyclization of II. Thus the 3-(R and S)-tetrahydrofuran-2-yl-2-em compds. III were prepd. and the S isomer was converted to the 3-(S)-tetrahydrofuran-2-yl-3-em III in several steps.

IT 141194-60-7P

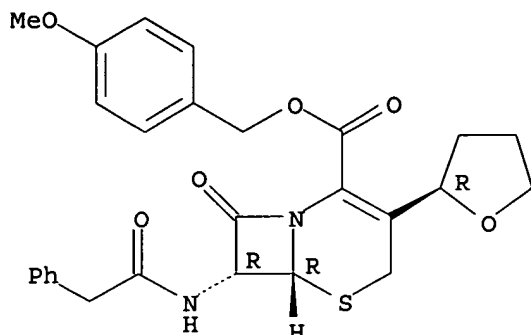
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for prepg. cephalosporin compds. and their intermediates)

RN 141194-60-7 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
8-oxo-7-[(phenylacetyl)amino]-3-[(2R)-tetrahydro-2-furanyl]-,

(4-methoxyphenyl)methyl ester, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:140924 HCAPLUS

DOCUMENT NUMBER: 126:144046

TITLE: Beta-lactam preparation

INVENTOR(S): Harris, Michael Anthony; Saunders, Richard Neville

PATENT ASSIGNEE(S): Pfizer Limited, UK

SOURCE: Brit. UK Pat. Appl., 15 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent

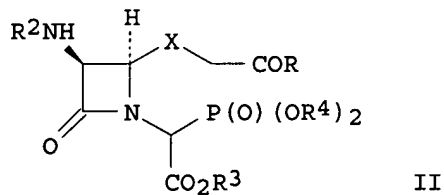
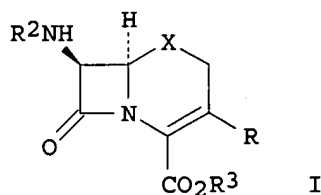
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2300856	A1	19961120	GB 1995-10126	19950516

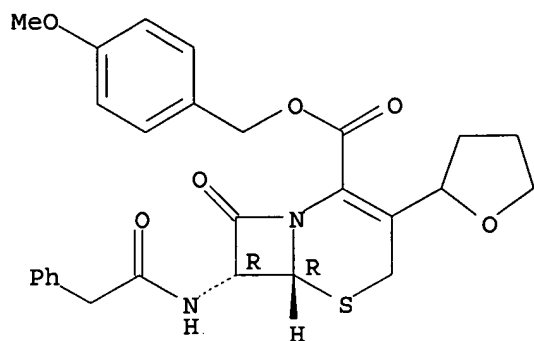
OTHER SOURCE(S): CASREACT 126:144046; MARPAT 126:144046
GI



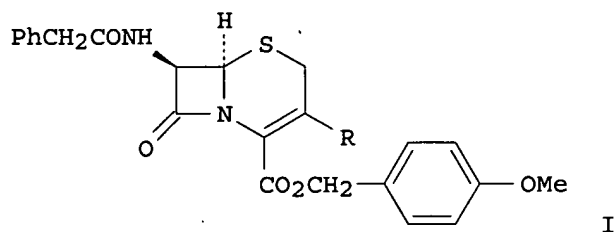
AB Title compds. I [R = substituent; R1 = H, OMe, NHCHO; R2 = acyl; CO2R3 = CO2H, CO2-; R3 = protecting group; X = S, SO, SO2, O, CH2] are prepd. by base-induced cyclization of an azetidinone II [R4 = alkyl, aryl]. II are prepd. from the halide and P(OR4)3. Thus, 4-methoxybenzyl (2RS)-2-hydroxy-2-[(3R)(4R)-3-phenylacetamido-4-[(RS)-2-tetrahydrofuryl]carbonylmethylthio]azetidin-2-on-1-ylacetate was converted to the chloride and then to the phosphonate which was cyclized with NaH in PhMe to give 50% I [R = (RS)-2-tetrahydrofuryl, R1 = H, R2 = PhCH2CO, R3 = 4-MeC6H4CH2].

IT 141061-21-4P
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of cepheids by cyclization of azetidylphosphonoacetates with base)
 RN 141061-21-4 HCAPLUS
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 8-oxo-7-[(phenylacetyl)amino]-3-(tetrahydro-2-furanyl)-, (4-methoxyphenyl)methyl ester, [6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2002 ACS
 ACCESSION NUMBER: 1997:1373 HCAPLUS
 DOCUMENT NUMBER: 126:89179
 TITLE: Transformation of penicillins into 3-substituted .DELTA.3-cephems through addition/cyclization of allenecarboxylates
 AUTHOR(S): Tanaka, Hideo; Sumida, Shin-ichi; Kameyama, Yutaka; Sorajo, Koichi; Wada, Isao; Torii, Sigeru
 CORPORATE SOURCE: Faculty of Engineering, Okayama University, Okayama, 700, Japan
 SOURCE: Bulletin of the Chemical Society of Japan (1996), 69(12), 3651-3658
 CODEN: BCSJA8; ISSN: 0009-2673
 PUBLISHER: Nippon Kagakkai
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 126:89179
 GI



AB A straightforward synthesis of .DELTA.3-cephems I [R = morpholino,

pyrrolidino, N3, 5-methyl-1,3,4-thiadiazolyl-2-thio, SO₂Ph] was performed successfully by a sequential addn./cyclization reaction of the allenecarboxylate derived from penicillin. The addn./cyclization reaction proceeded smoothly upon treatment of the allenecarboxylate with the nucleophiles. Reaction of the allenecarboxylate with lithium chloride in NMP (N-methyl-2-pyrrolidone) in the presence of aluminum chloride afforded I [R = Cl], while without AlCl₃ I [R = SO₂Ph] was the main product. I [R = arylthio] were similarly prepd.

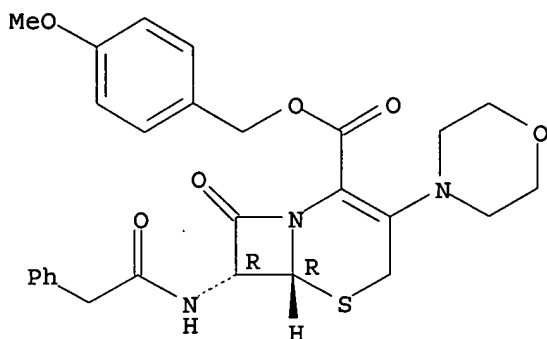
IT 139472-61-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(transformation of penicillins into 3-substituted .DELTA.3-cephems
through addn./cyclization of allenecarboxylates)

RN 139472-61-0 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
3-(4-morpholinyl)-8-oxo-7-[(phenylacetyl)amino]-, (4-methoxyphenyl)methyl
ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:456093 HCAPLUS

DOCUMENT NUMBER: 125:114393

TITLE: Process for the preparation of cephalosporins and
analogs

INVENTOR(S): Burton, George; Naylor, Antoinette

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

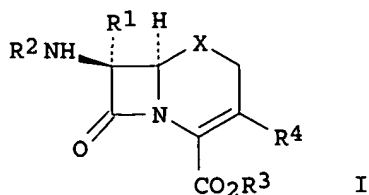
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9617847	A1	19960613	WO 1995-GB2783	19951129
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			GB 1994-24847	19941209
OTHER SOURCE(S): CASREACT 125:114393; MARPAT 125:114393				
GI				



AB Cephalosporins I [X = S, SO, SO₂, O, CH₂; R₁ = H, OMe, NHCHO; R₂ = acyl; R₃ = in vivo hydrolyzable ester group; R₄ = (un)substituted tetrahydrofuryl, tetrahydropyranyl] are prep'd. by reaction of the corresponding carboxylic acid with R₃Y [Y = halide] in the presence of an aq. phase contg. a base and a phase transfer catalyst. Subsequent removal of protecting groups, conversion of groups X and R₂ and salt formation may be carried out. Thus, 4-methoxybenzyl (6R,7R)-7-phenylacetamido-3-[(S)-2-tetrahydrofuryl]cephem-4-carboxylate was treated with Me₃CCO₂CH₂I, followed by deacylation and reacylation to give pivaloyloxymethyl (6R,7R)-7-[2-(2-amino-4-thiazolyl)-2-(Z)-methoxyiminoacetamido]-3-[(S)-2-tetrahydrofuryl]cephem-4-carboxylate.

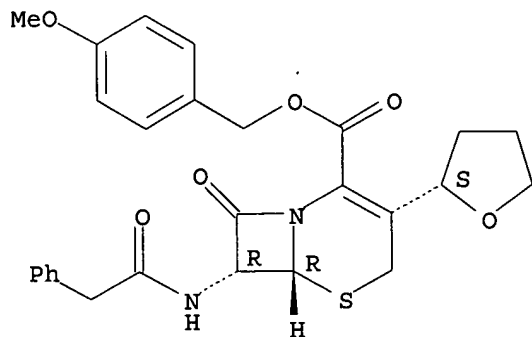
IT 141194-63-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and transacylation of cephalosporin esters)

RN 141194-63-0 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
8-oxo-7-[(phenylacetyl)amino]-3-(tetrahydro-2-furanyl)-,
(4-methoxyphenyl)methyl ester, [6R-[3(S*),6.alpha.,7.beta.]]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1993:38686 HCAPLUS

DOCUMENT NUMBER: 118:38686

TITLE: Process for preparing cephem derivatives

INVENTOR(S): Torii, Sigeru; Tanaka, Hideo; Taniguchi, Masatoshi;
Sasaoka, Michio; Shiroy, Takashi; Kameyama, Yutaka

PATENT ASSIGNEE(S): Otsuka Kagaku K. K., Japan

SOURCE: Eur. Pat. Appl., 13 pp.

CODEN: EPXXDW

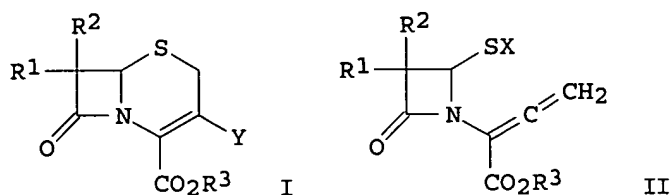
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 507124	A2	19921007	EP 1992-104202	19920311
EP 507124	A3	19921209		
EP 507124	B1	19961227		
R: DE, FR, GB, IT				
JP 04282387	A2	19921007	JP 1991-72450	19910311
JP 3195371	B2	20010806		
US 5204458	A	19930420	US 1992-849160	19920310
PRIORITY APPLN. INFO.:			JP 1991-72450	A 19910311
OTHER SOURCE(S):	CASREACT 118:38686; MARPAT 118:38686			
GI				



AB Title compds. [I; R1 = (protected) amino; R2 = H, alkoxy; R3 = H, carboxy-protective group; Y = residue of a nucleophile] were prepd. by cyclization of azetidinonylethenylideneacetates II [R1-R3 as above; X = SO₂R₄, SR₄; R₄ = aryl, (substituted) N-contg. heteroaryl] with a nucleophile. Thus, II [R1 = PhCH₂CONH, R2 = H, R3 = CH₂C₆H₄(OMe)-4, X = SO₂Ph] was stirred 1 h with morpholine in DMF contg. CaCl₂ to give 87% I (R1-R3 unchanged, Y = morpholino).

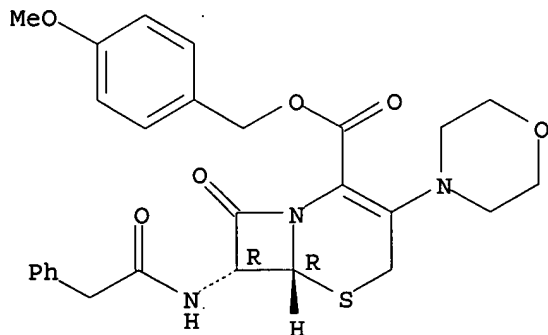
IT **139472-61-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, method for)

RN 139472-61-0 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
3-(4-morpholinyl)-8-oxo-7-[(phenylacetyl)amino]-, (4-methoxyphenyl)methyl
ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:255397 HCAPLUS

DOCUMENT NUMBER: 116:255397
 TITLE: Preparation of 3-tetrahydrofurylcephem-3-carboxylates and analogs as antibiotics
 INVENTOR(S): Bateson, John Hargreaves; Burton, George; Fell, Stephen Christopher Martin
 PATENT ASSIGNEE(S): Beecham Group PLC, UK
 SOURCE: PCT Int. Appl., 147 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9201696	A1	19920206	WO 1991-GB1228	19910722
W: AU, CA, CS, FI, HU, JP, KR, NO, PL, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2087967	AA	19920125	CA 1991-2087967	19910722
AU 9182224	A1	19920218	AU 1991-82224	19910722
AU 648329	B2	19940421		
ZA 9105725	A	19920624	ZA 1991-5725	19910722
EP 540609	A1	19930512	EP 1991-913583	19910722
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 63628	A2	19930928	HU 1993-177	19910722
JP 05509305	T2	19931222	JP 1991-512368	19910722
JP 2851428	B2	19990127		
AT 185567	E	19991015	AT 1991-913583	19910722
ES 2137162	T3	19991216	ES 1991-913583	19910722
CN 1060469	A	19920422	CN 1991-105783	19910724
CN 1061046	B	20010124		
NO 9300226	A	19930323	NO 1993-226	19930122
US 6020329	A	20000201	US 1997-958864	19971020
CN 1223859	A	19990728	CN 1998-122407	19981114
US 6001997	A	19991214	US 1999-228138	19990111
US 6077952	A	20000620	US 1999-327667	19990608

PRIORITY APPLN. INFO.:
 GB 1990-16189 A 19900724
 GB 1991-9540 A 19910502
 WO 1991-GB1228 A 19910722
 US 1993-934667 B1 19930122
 US 1995-470786 B1 19950606
 US 1997-958864 A1 19971020
 US 1999-228138 A1 19990111

OTHER SOURCE(S): MARPAT 116:255397

GI For diagram(s), see printed CA Issue.

AB Title compds. (I; R1 = H, MeO, HCONH; R2 = acyl; R3 = H, neg. charge, carboxy-protective group; R4 = .ltoreq.4 substituents selected from alkyl, alkenyl, OH, halo, alkoxy, etc.; X = O, CH2, SO_n; n= 0-2; m = 1, 2) were prepd. Thus, Na 2-(2-tritylaminothiazol-4-yl)-2-(Z)-trityloxyiminoacetate was condensed with tert-butyl (6R, 7R)-7-amino-3-[(R)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylate to give, after deprotection, (6R, 7R)-7-[2-(2-aminothiazol-4-yl)-2-(Z)-hydroxyiminoacetamido]-3-[(RS)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylic acid which had MIC of 0.50 and 0.25 .mu.g/mL against Escherichia coli (NCTC 1048) and Staphylococcus aureus (Oxford), resp.

IT 141061-21-4P 141061-24-7P 141061-25-8P
 141072-52-8P 141072-54-0P 141072-55-1P
 141072-56-2P 141072-58-4P 141072-59-5P
 141072-60-8P 141072-67-5P 141072-71-1P
 141072-73-3P 141072-74-4P 141072-78-8P

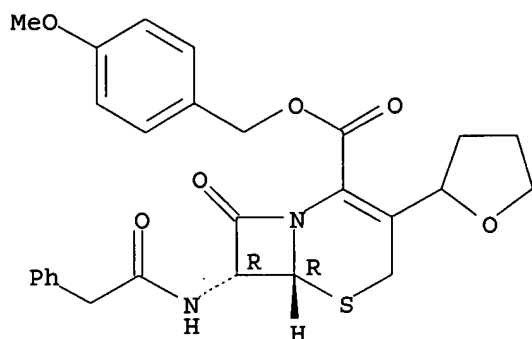
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 141072-87-9P 141072-94-8P 141072-95-9P
 141073-02-1P 141073-04-3P 141073-15-6P
 141194-60-7P 141194-63-0P 141194-67-4P
 141194-73-2P 141194-77-6P 141194-83-4P
 141194-85-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and reaction of, in prepn. of antibiotics)

RN 141061-21-4 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 8-oxo-7-[(phenylacetyl)amino]-3-(tetrahydro-2-furanyl)-,
 (4-methoxyphenyl)methyl ester, [6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX
 NAME)

Absolute stereochemistry.

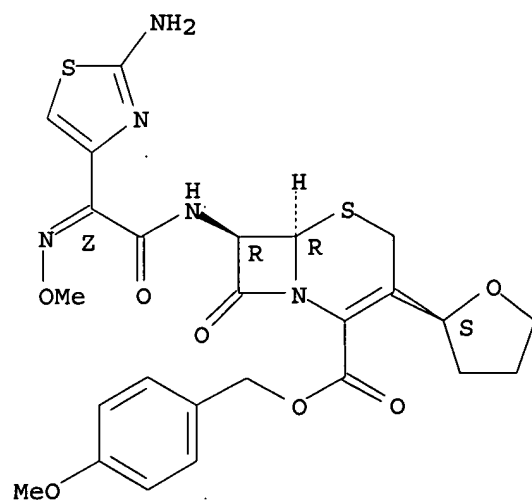


RN 141061-24-7 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-[[(2-amino-4-thiazolyl) (methoxyimino) acetyl] amino]-8-oxo-3-(tetrahydro-2-
 furanyl)-, (4-methoxyphenyl)methyl ester, [6R-[3(S*),6.alpha.,7.beta.(Z)]]-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

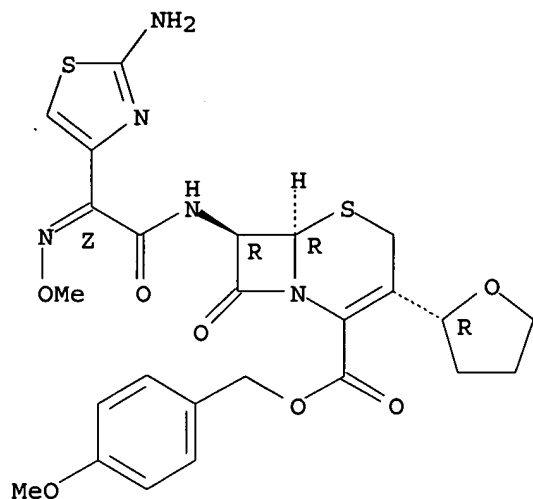
Double bond geometry as shown.



RN 141061-25-8 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl) (methoxyimino) acetyl] amino]-8-oxo-3-(tetrahydro-2-furanyl)-, (4-methoxyphenyl)methyl ester, [6R-[3(R*),6.alpha.,7.beta.(Z)]]-(9CI) (CA INDEX NAME)

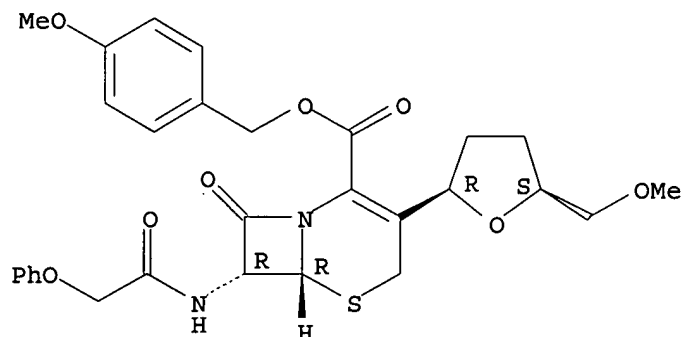
Absolute stereochemistry.
Double bond geometry as shown.



RN 141072-52-8 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
8-oxo-7-[(phenoxyacetyl) amino]-3-[tetrahydro-5-(methoxymethyl)-2-furanyl]-, (4-methoxyphenyl)methyl ester, [6R-[3(2R*,5S*),6.alpha.,7.beta.]]-(9CI) (CA INDEX NAME)

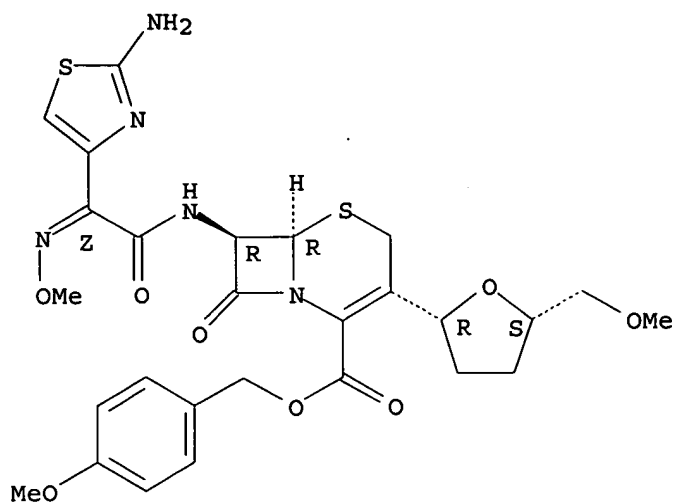
Absolute stereochemistry.



RN 141072-54-0 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl) (methoxyimino) acetyl] amino]-8-oxo-3-[tetrahydro-5-(methoxymethyl)-2-furanyl]-, (4-methoxyphenyl)methyl ester, [6R-[3(2R*,5S*),6.alpha.,7.beta.(Z)]]-(9CI) (CA INDEX NAME)

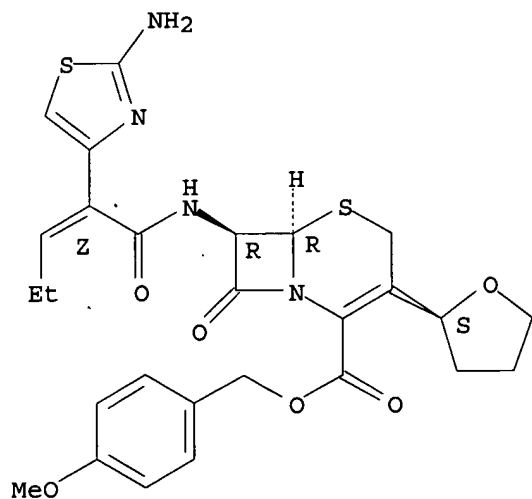
Absolute stereochemistry.
Double bond geometry as shown.



RN 141072-55-1 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[2-(2-amino-4-thiazolyl)-1-oxo-2-pentenyl]amino]-8-oxo-3-(tetrahydro-2-furanyl)-, (4-methoxyphenyl)methyl ester, [6R-[3(S*),6.alpha.,7.beta.(Z)]]-(9CI) (CA INDEX NAME)

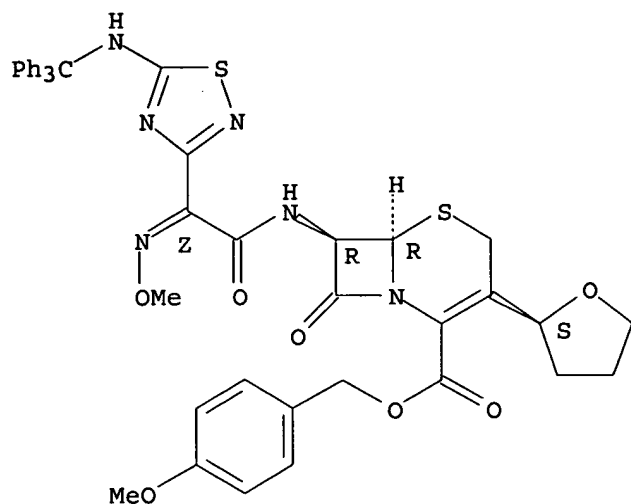
Absolute stereochemistry.
Double bond geometry as shown.



RN 141072-56-2 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(methoxyimino)[5-[(triphenylmethyl)amino]-1,2,4-thiadiazol-3-yl]acetyl]amino]-8-oxo-3-(tetrahydro-2-furanyl)-, (4-methoxyphenyl)methyl ester, [6R-[3(S*),6.alpha.,7.beta.(Z)]]-(9CI) (CA INDEX NAME)

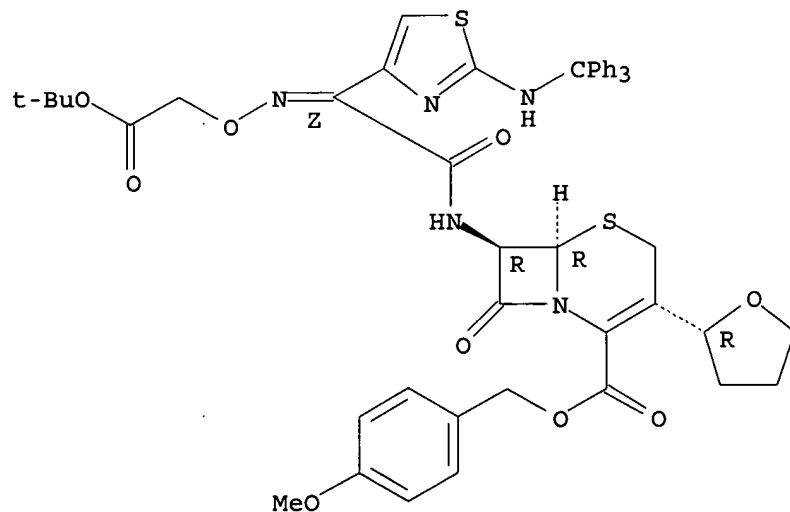
Absolute stereochemistry.
Double bond geometry as shown.



RN 141072-58-4 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[2-(1,1-dimethylethoxy)-2-oxoethoxy]imino][2-[(triphenylmethyl)amino]-
4-thiazolyl]acetyl]amino]-8-oxo-3-(tetrahydro-2-furanyl)-,
(4-methoxyphenyl)methyl ester, [6R-[3(R*),6.alpha.,7.beta.(Z)]]- (9CI)
(CA INDEX NAME)

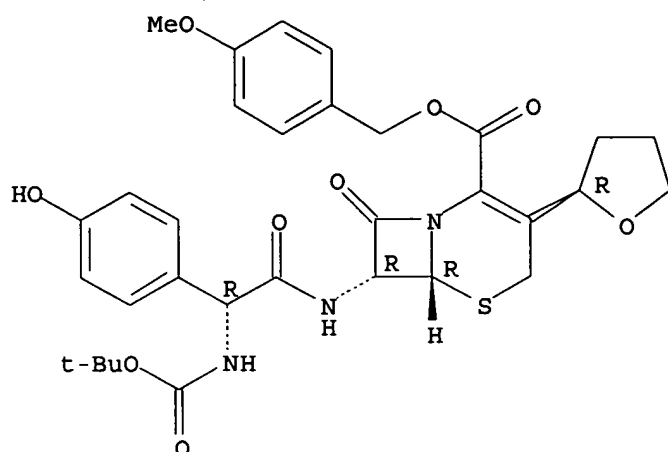
Absolute stereochemistry.
Double bond geometry as shown.



RN 141072-59-5 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[[(1,1-dimethylethoxy)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-8-
oxo-3-(tetrahydro-2-furanyl)-, (4-methoxyphenyl)methyl ester,
[6R-[3(R*),6.alpha.,7.beta.(R*)]]- (9CI) (CA INDEX NAME)

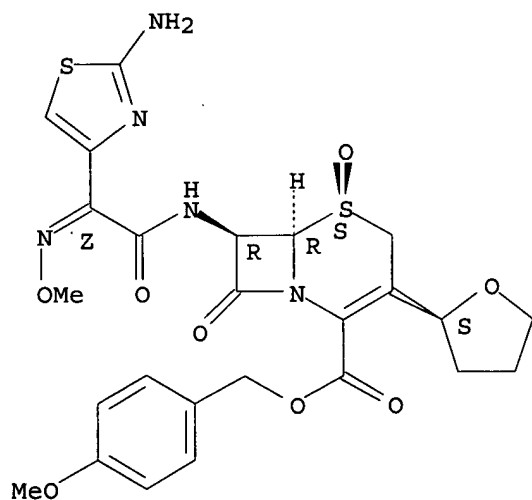
Absolute stereochemistry.



RN 141072-60-8 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-3-(tetrahydro-2-
furanyl)-, (4-methoxyphenyl)methyl ester, 5-oxide, [5S-
[3(R*),5.alpha.,6.beta.,7.alpha.(Z)]]- (9CI) (CA INDEX NAME)

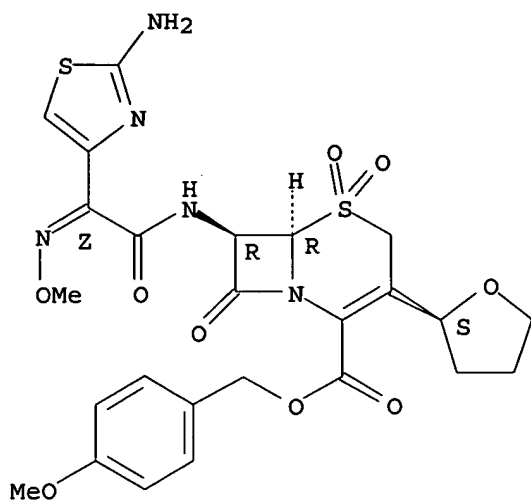
Absolute stereochemistry.
Double bond geometry as shown.



RN 141072-67-5 HCAPLUS

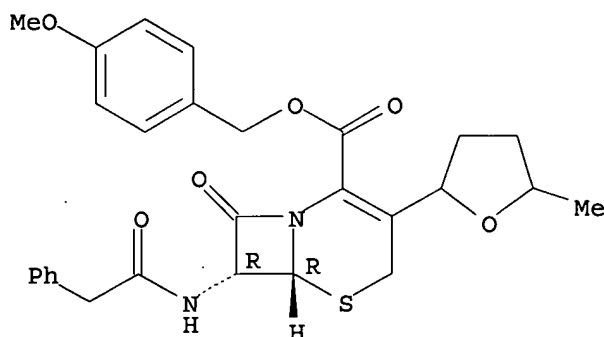
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-3-(tetrahydro-2-
furanyl)-, (4-methoxyphenyl)methyl ester, 5,5-dioxide,
[6R-[3(S*),6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



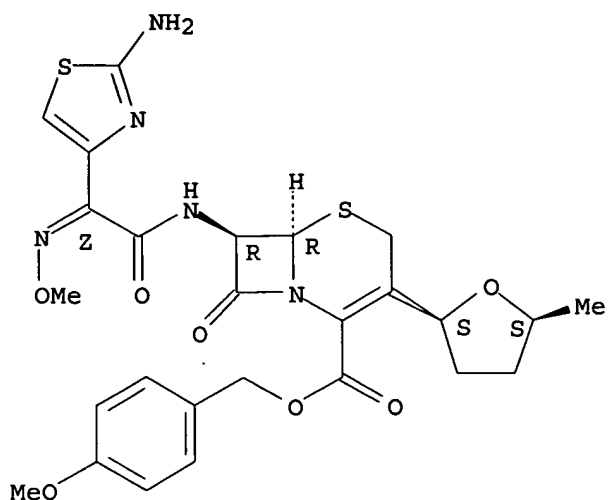
RN 141072-71-1 HCAPLUS
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 8-oxo-7-[(phenylacetyl)amino]-3-(tetrahydro-5-methyl-2-furanyl)-,
 (4-methoxyphenyl)methyl ester, [6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX
 NAME)

Absolute stereochemistry.



RN 141072-73-3 HCAPLUS
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-3-(tetrahydro-5-
 methyl-2-furanyl)-, (4-methoxyphenyl)methyl ester, [6R-
 [3(2S*,5S*),6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

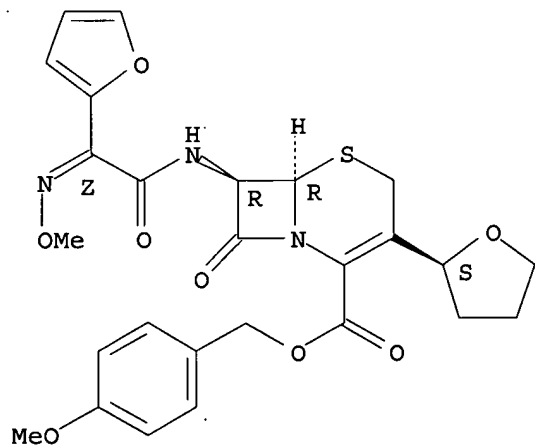
Absolute stereochemistry.
 Double bond geometry as shown.



RN 141072-74-4 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[2-furanyl(methoxyimino)acetyl]amino]-8-oxo-3-(tetrahydro-2-furanyl)-,
(4-methoxyphenyl)methyl ester, [6R-[3(S*),6.alpha.,7.beta.(Z)]]- (9CI)
(CA INDEX NAME)

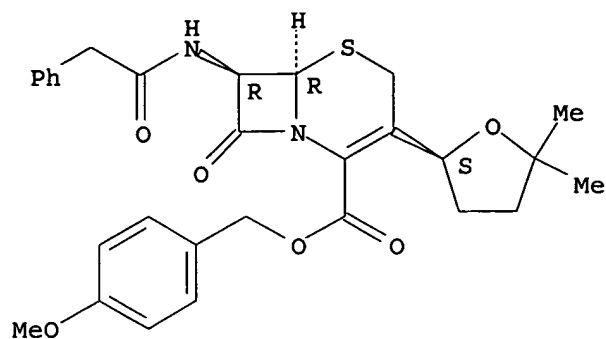
Absolute stereochemistry.
Double bond geometry as shown.



RN 141072-78-8 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
8-oxo-7-[(phenylacetyl)amino]-3-(tetrahydro-5,5-dimethyl-2-furanyl)-,
(4-methoxyphenyl)methyl ester, [6R-[3(S*),6.alpha.,7.beta.]]- (9CI) (CA
INDEX NAME)

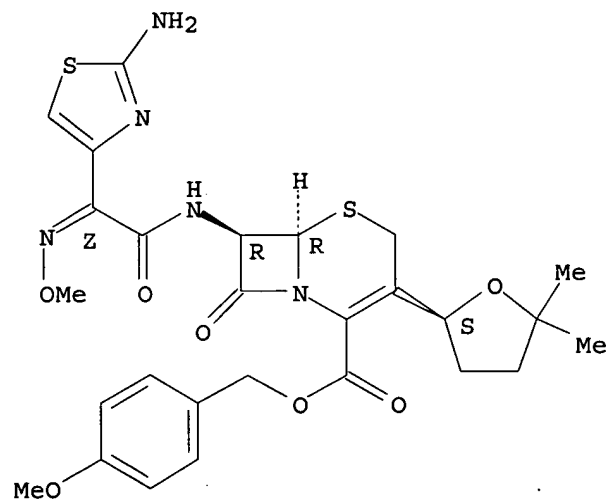
Absolute stereochemistry.



RN 141072-80-2 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl) (methoxyimino) acetyl] amino]-8-oxo-3-(tetrahydro-
5,5-dimethyl-2-furanyl)-, (4-methoxyphenyl)methyl ester,
[6R-[3(S*),6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

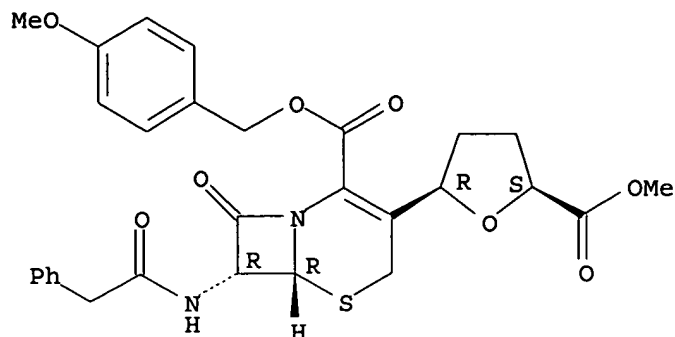
Absolute stereochemistry.
Double bond geometry as shown.



RN 141072-85-7 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
8-oxo-7-[(phenylacetyl) amino]-3-[tetrahydro-5-(methoxycarbonyl)-2-furanyl]-
, (4-methoxyphenyl)methyl ester, [6R-[3(2R*,5S*),6.alpha.,7.beta.]]- (9CI)
(CA INDEX NAME)

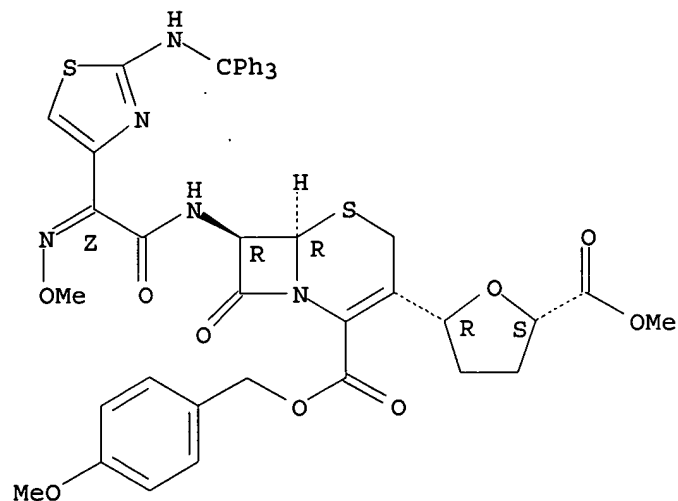
Absolute stereochemistry.



RN 141072-86-8 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(methoxyimino) 2-[(triphenylmethyl)amino]-4-thiazolyl]acetyl]amino]-8-
oxo-3-[tetrahydro-5-(methoxycarbonyl)-2-furanyl]-, (4-methoxyphenyl)methyl
ester, [6R-[3(2R*,5S*),6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

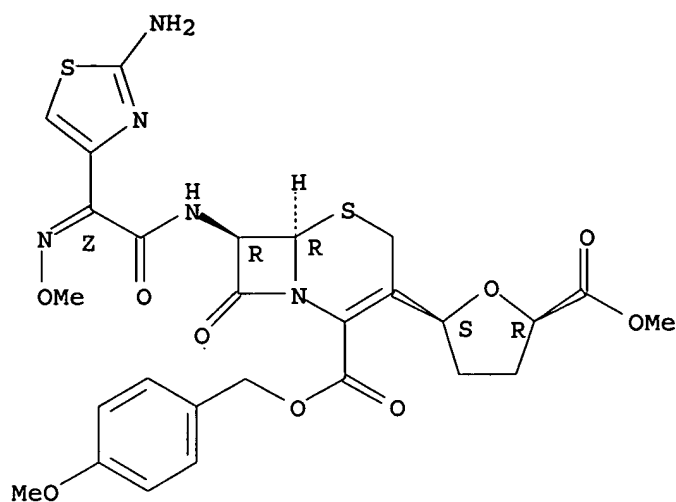
Absolute stereochemistry.
Double bond geometry as shown.



RN 141072-87-9 HCAPLUS

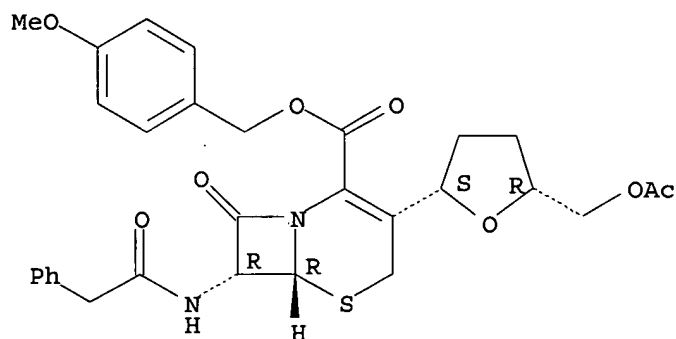
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-3-[tetrahydro-5-
(methoxycarbonyl)-2-furanyl]-, (4-methoxyphenyl)methyl ester,
[6R-[3(2S*,5R*),6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



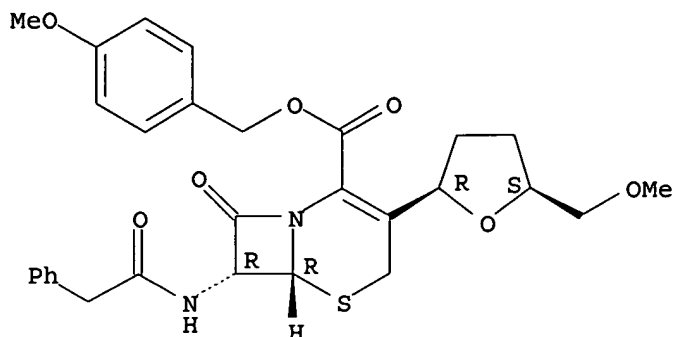
RN 141072-94-8 HCAPLUS
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 3-[5-[(acetyloxy)methyl]tetrahydro-2-furanyl]-8-oxo-7-
 [(phenylacetyl)amino]-, (4-methoxyphenyl)methyl ester,
 [6R-[3(2S*,5R*),6.alpha.,7.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 141072-95-9 HCAPLUS
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 8-oxo-7-[(phenylacetyl)amino]-3-[tetrahydro-5-(methoxymethyl)-2-furanyl]-,
 (4-methoxyphenyl)methyl ester, [6R-[3(2R*,5S*),6.alpha.,7.beta.]]- (9CI)
 (CA INDEX NAME)

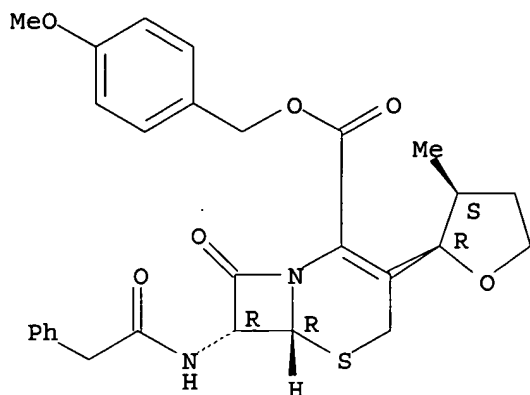
Absolute stereochemistry.



RN 141073-02-1 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
8-oxo-7-[(phenylacetyl)amino]-3-(tetrahydro-3-methyl-2-furanyl)-,
(4-methoxyphenyl)methyl ester, [6R-[3(2R*,3S*),6.alpha.,7.beta.]]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

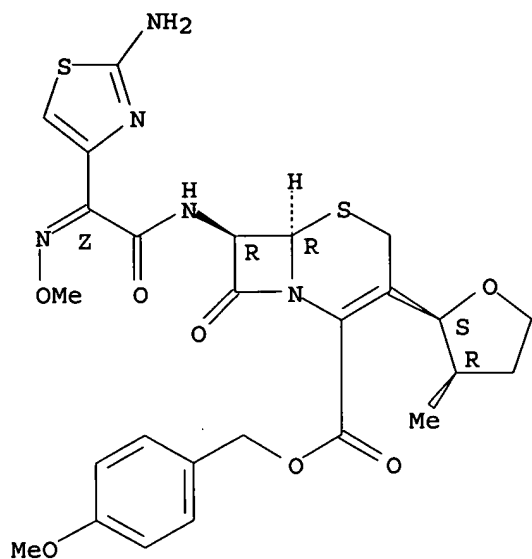


RN 141073-04-3 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-3-(tetrahydro-3-
methyl-2-furanyl)-, (4-methoxyphenyl)methyl ester, [6R-
[3(2S*,3R*),6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

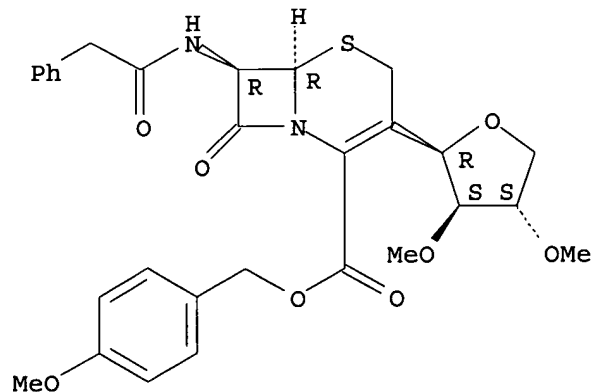
Double bond geometry as shown.



RN 141073-15-6 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
8-oxo-7-[(phenylacetyl)amino]-3-(tetrahydro-3,4-dimethoxy-2-furanyl)-,
(4-methoxyphenyl)methyl ester, [2R-[2.alpha.(6R*,7R*),3.alpha.,4.beta.]]-
(9CI) (CA INDEX NAME)

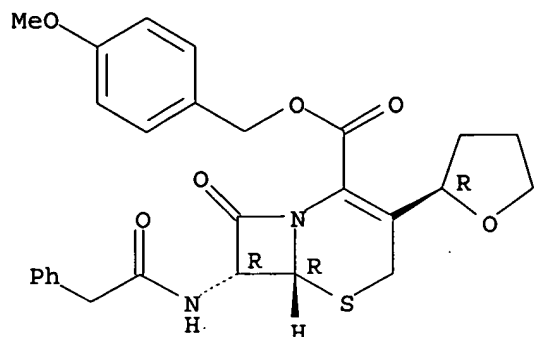
Absolute stereochemistry.



RN 141194-60-7 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
8-oxo-7-[(phenylacetyl)amino]-3-[(2R)-tetrahydro-2-furanyl]-,
(4-methoxyphenyl)methyl ester, (6R,7R)- (9CI) (CA INDEX NAME)

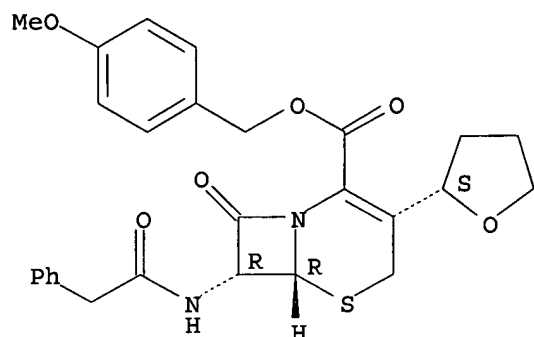
Absolute stereochemistry.



RN 141194-63-0 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
8-oxo-7-[(phenylacetyl)amino]-3-(tetrahydro-2-furanyl)-,
(4-methoxyphenyl)methyl ester, [6R-[3(S*),6.alpha.,7.beta.]]- (9CI) (CA
INDEX NAME)

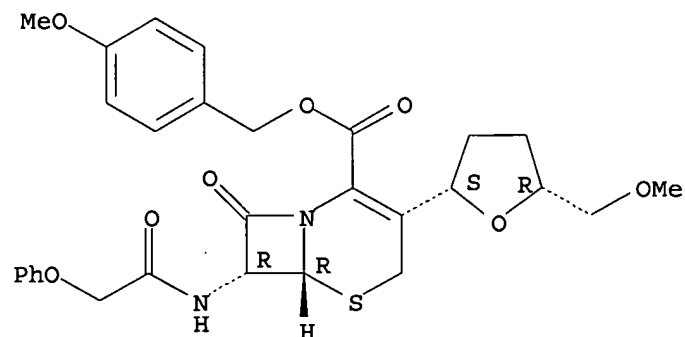
Absolute stereochemistry.



RN 141194-67-4 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
8-oxo-7-[(phenoxycetyl)amino]-3-[tetrahydro-5-(methoxymethyl)-2-furanyl]-
, (4-methoxyphenyl)methyl ester, [6R-[3(2S*,5R*),6.alpha.,7.beta.]]- (9CI)
(CA INDEX NAME)

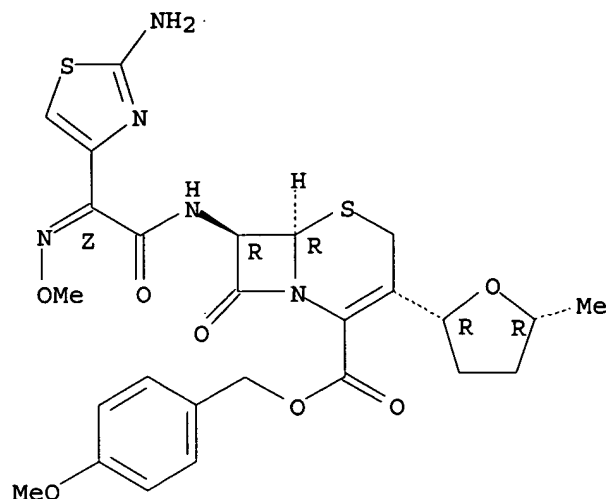
Absolute stereochemistry.



RN 141194-73-2 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl) (methoxyimino)acetyl]amino]-8-oxo-3-(tetrahydro-5-methyl-2-furanyl)-, (4-methoxyphenyl)methyl ester, [6R-[3(2R*,5R*),6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

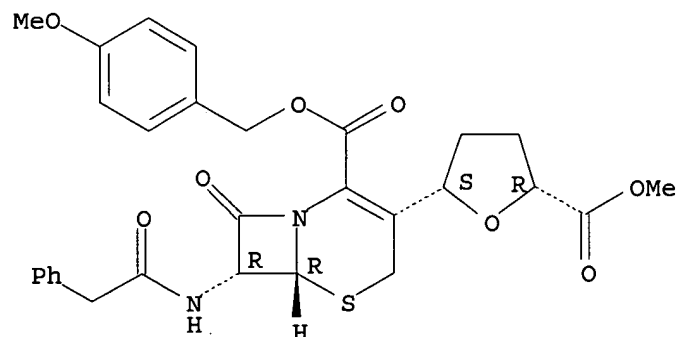
Absolute stereochemistry.
Double bond geometry as shown.



RN 141194-77-6 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
8-oxo-7-[(phenylacetyl)amino]-3-[tetrahydro-5-(methoxycarbonyl)-2-furanyl]-
, (4-methoxyphenyl)methyl ester, [6R-[3(2S*,5R*),6.alpha.,7.beta.]]- (9CI)
(CA INDEX NAME)

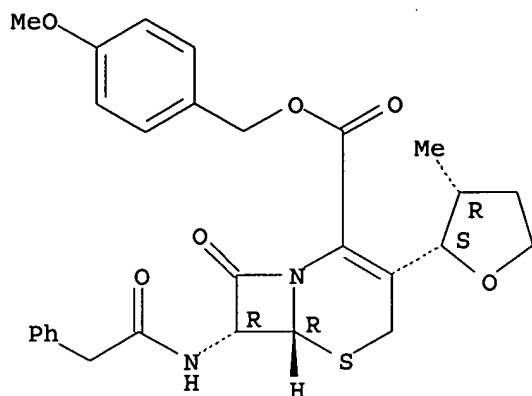
Absolute stereochemistry.



RN 141194-83-4 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
8-oxo-7-[(phenylacetyl)amino]-3-(tetrahydro-3-methyl-2-furanyl)-,
(4-methoxyphenyl)methyl ester, [6R-[3(2S*,3R*),6.alpha.,7.beta.]]- (9CI)
(CA INDEX NAME)

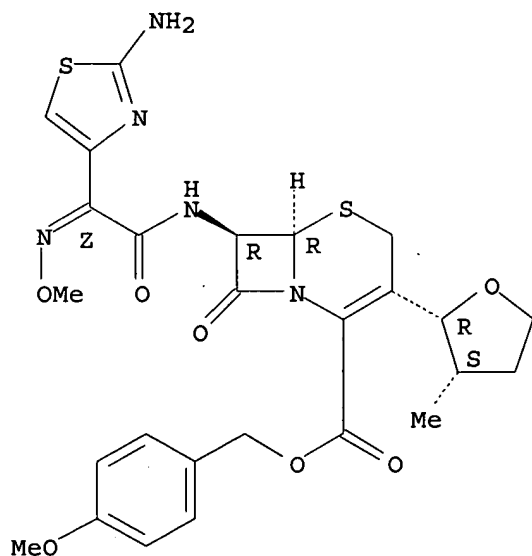
Absolute stereochemistry.



RN 141194-85-6 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[(2-amino-4-thiazolyl) (methoxyimino) acetyl] amino]-8-oxo-3-(tetrahydro-3-methyl-2-furanyl)-, (4-methoxyphenyl)methyl ester, [6R-
[3(2R*,3S*),6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L6 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1992:128438 HCAPLUS

DOCUMENT NUMBER: 116:128438

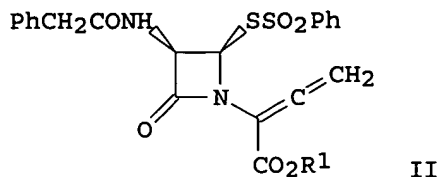
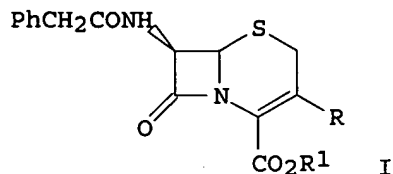
TITLE: Penicillin-cephalosporin conversion. XV. A new short-cut route to 3-norcephalosporins

AUTHOR(S): Tanaka, Hideo; Kameyama, Yutaka; Sumida, Shinichi; Yamada, Takae; Tokumaru, Yoshihisa; Shiro, Takashi; Sasaoka, Michio; Taniguchi, Mastoshi; Torii, Sigeru

CORPORATE SOURCE: Fac. Eng., Okayama Univ., Okayama, 700, Japan

SOURCE: Synlett (1991), (12), 888-90
CODEN: SYNLES; ISSN: 0936-5214

DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 116:128438
 GI



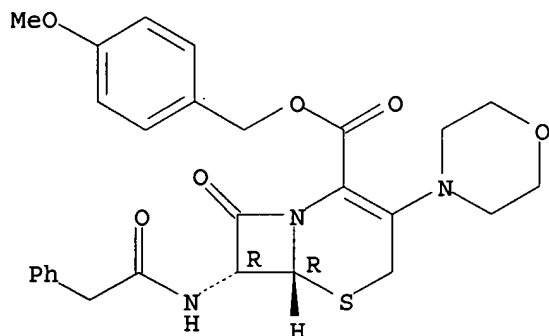
AB 3-Norcephems I (R = heterocyclic amino, SO₂Ph, Cl; R₁ = CH₂C₆H₄OMe-4, CHPh₂) were prepd. starting from penicillin G through a new shortcut involving Michael addn. of amines, azide or thiols to allenic esters II and sequential ring closure to the six-membered ring.

IT 139472-61-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 139472-61-0 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 3-(4-morpholinyl)-8-oxo-7-[(phenylacetyl)amino]-, (4-methoxyphenyl)methyl
 ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

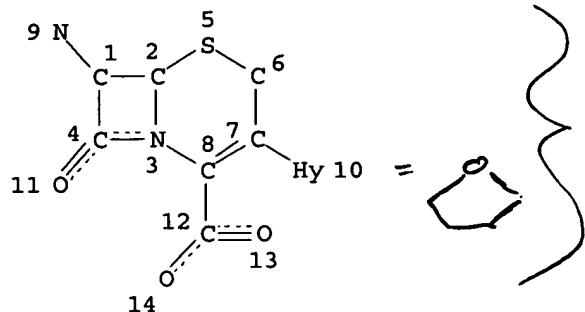


$$R_3 = \left(\begin{array}{c} A \\ \vdots \\ C \end{array} \right) - \left(\begin{array}{c} A \\ \vdots \\ L \end{array} \right) = \left(\begin{array}{c} A \\ \vdots \\ C \end{array} \right) - \left(\begin{array}{c} A \\ \vdots \\ A \end{array} \right)$$

Page 1

L1

STR



Same initial search
as in previous
searches

DEFAULT MLEVEL IS ATOM

GGCAT IS MCY SAT AT 10

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E4 C E1 O AT 10

GRAPH ATTRIBUTES:

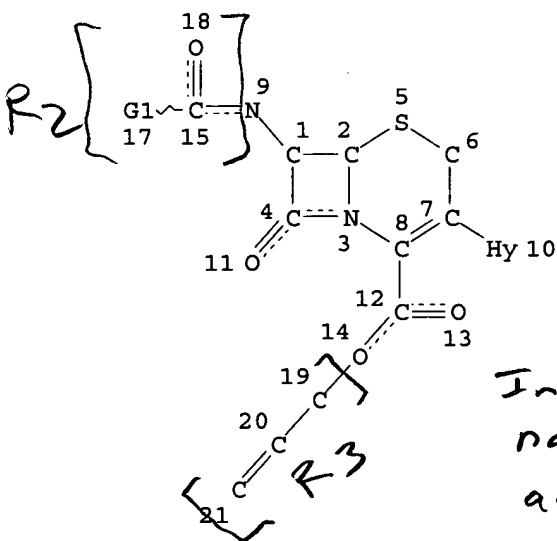
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

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L2          148 SEA FILE=REGISTRY SSS FUL L1
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L5	STR
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Initially I defined R_3 more narrowly - but I didn't get any hits.

VAR G1=H/C/N/O

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS MCY SAT AT 10

DEFAULT ECLEVEL IS LIMITED

ECOUNT	IS	E4	C	E1	O	AT	10
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GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE

L7 4 SEA FILE=REGISTRY SUB=L2 SSS FUL L5
L8 4 SEA FILE=CAPLUS ABB=ON PLU=ON L7

*search L2 using structure
L5.**search CAPLUS with
answer set from
Registry*

=> D IBIB ABS HITSTR 1-4

L8 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:449689 CAPLUS

DOCUMENT NUMBER: 137:33162

TITLE: Process for the preparation of p-nitrobenzyl or allyl
esters of 3-cyclic-ether substituted cephalosporins
from trimethylphosphinic compounds via an
intramolecular Wittig reaction

INVENTOR(S): Colberg, Juan Carlos; Tucker, John Lloyd; Zenoni,
Maurizio; Fogliato, Giovanni; Donadelli, Alessandro

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

*Applicants'
work*

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046199	A1	20020613	WO 2001-IB2181	20011119

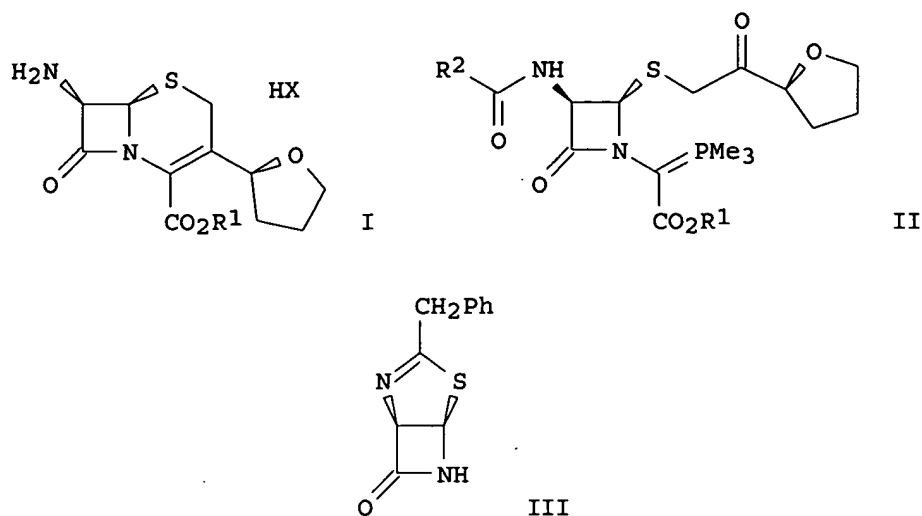
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002099205	A1	20020725	US 2001-6579	20011204
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PRIORITY APPLN. INFO.: US 2000-251018P P 20001204

OTHER SOURCE(S): CASREACT 137:33162; MARPAT 137:33162

GI



AB A process for the prepn. of I (R1 = p-nitrobenzyl, allyl; X = halo) via an intramol. Wittig reaction of II (R1 = p-nitrobenzyl, allyl; R2 = C1-6-alkyl, C6-10-aryl, C6-10-aryl-C1-6-alkyl, dithianyl) to prep. 3-cyclic-ether substituted derivs. of cephalosporins is described. Thus, III was treated with p-nitrobenzyl glyoxylate monohydrate followed by redn. of the intermediate with NaBH4. The resulting hydroxy compd. was treated with p-toluenesulfonic acid followed by addn. of (S)-1-(tetrahydro-2-furanyl)ethanone, addn. of thionyl chloride, and finally trimethylphosphine to give the desired intermediate II (R1 = p-nitrobenzyl, R2 = PhCH2). Cyclization of II via an intramol. Wittig reaction was accomplished by refluxing for 16 h in THF. Addn. of phosphorus pentachloride and .alpha.-picoline in dichloromethane gave the free amine of I (R1 = p-nitrobenzyl).

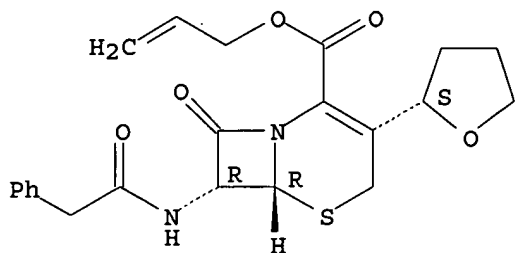
IT 436100-76-4P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the prepn. of p-nitrobenzyl or allyl esters of 3-cyclic-ether substituted cephalosporins from trimethylphosphinic compds. via an intramol. Wittig reaction)

RN 436100-76-4 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
8-oxo-7-[(phenylacetyl)amino]-3-[(2S)-tetrahydro-2-furanyl]-, 2-propenyl ester, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2002 ACS
 ACCESSION NUMBER: 2002:449688 CAPLUS
 DOCUMENT NUMBER: 137:33161
 TITLE: Coupling process and intermediates useful for
 preparing cephalosporins
 INVENTOR(S): Colberg, Juan Carlos; Donadelli, Alessandro; Fogliato,
 Giovanni; Zenoni, Maurizio
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA
 SOURCE: PCT Int. Appl., 33 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

*Applicants'
work.*

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046198	A1	20020613	WO 2001-IB2225	20011122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2000-251014P P 20001204
 OTHER SOURCE(S): MARPAT 137:33161
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB This invention relates to a novel process for the prepn. of
 3-cyclic-ether-substituted cephalosporins, such as I [CO2R1 =carboxylic
 acid or a carboxylate salt; A1 = aryl, heteroaryl, heterocyclyl; A2 = H,
 alkyl, cycloalkyl, aryl, etc.], via amidation reactions. Thus,
 cephalosporin II was prepd. in 80% yield by amidation of amine III with
 the acid anhydride of acid IV using O,O-di-Et hydrogenphosphorothioate in
 a Me2CO/H2O soln.

IT 436100-70-8P 436100-76-4P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
 preparation); PREP (Preparation); RACT (Reactant or reagent)
 (process for the prepn. of intermediates via amidation which are useful
 for prepg. cephalosporins)

RN 436100-70-8 CAPLUS

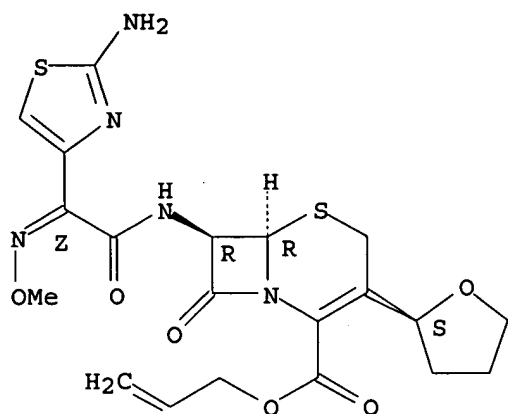
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-[[[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-3-[(2S)-
 tetrahydro-2-furanyl]-, 2-propenyl ester, (6R,7R)-, monobenzenesulfinate
 (9CI) (CA INDEX NAME)

CM 1

CRN 436100-69-5

CMF C20 H23 N5 O6 S2

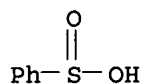
Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 618-41-7

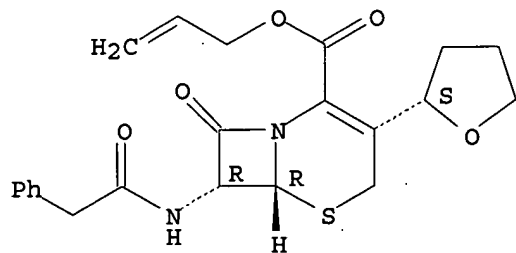
CMF C6 H6 O2 S



RN 436100-76-4 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
8-oxo-7-[(phenylacetyl)amino]-3-[(2S)-tetrahydro-2-furanyl]-, 2-propenyl
ester, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:140924 CAPLUS

DOCUMENT NUMBER: 126:144046

TITLE: Beta-lactam preparation

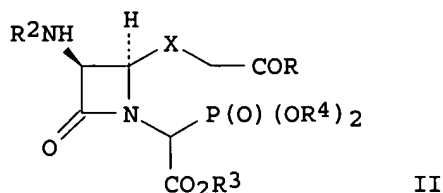
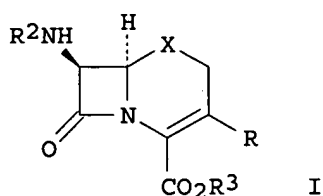
INVENTOR(S): Harris, Michael Anthony; Saunders, Richard Neville

PATENT ASSIGNEE(S): Pfizer Limited, UK

SOURCE: Brit. UK Pat. Appl., 15 pp.
 CODEN: BAXXDU
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2300856	A1	19961120	GB 1995-10126	19950516

OTHER SOURCE(S): CASREACT 126:144046; MARPAT 126:144046
 GI



AB Title compds. I [R = substituent; R1 = H, OMe, NHCHO; R2 = acyl; CO2R3 = CO2H, CO2-; R3 = protecting group; X = S, SO, SO2, O, CH2] are prepd. by base-induced cyclization of an azetidione II [R4 = alkyl, aryl]. II are prepd. from the halide and P(OR4)3. Thus, 4-methoxybenzyl (2RS)-2-hydroxy-2-[(3R)(4R)-3-phenylacetamido-4-[(RS)-2-tetrahydrofuryl]carbonylmethylthio]azetidin-2-on-1-ylacetate was converted to the chloride and then to the phosphonate which was cyclized with NaH in PhMe to give 50% I [R = (RS)-2-tetrahydrofuryl, R1 = H, R2 = PhCH2CO, R3 = 4-MeC6H4CH2].

IT 141060-97-1P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

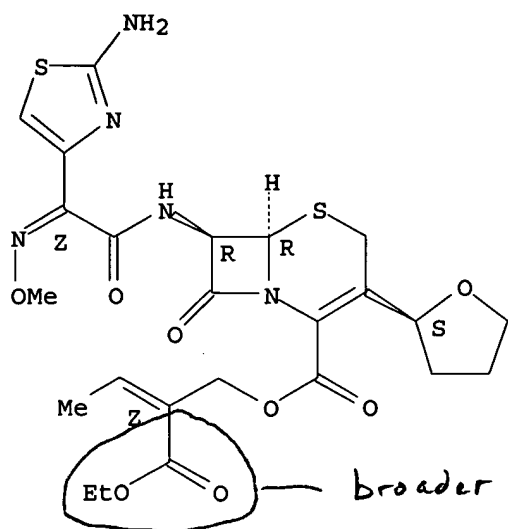
(prepn. of cepheids by cyclization of azetidinyolphosphonoacetates with base)

RN 141060-97-1 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-3-(tetrahydro-2-furanyl)-, 2-(ethoxycarbonyl)-2-butenyl ester, [6R-[2(Z),3(S*),6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L8 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1992:255397 CAPLUS

DOCUMENT NUMBER: 116:255397

TITLE: Preparation of 3-tetrahydrofurylcephem-3-carboxylates and analogs as antibiotics

INVENTOR(S): Bateson, John Hargreaves; Burton, George; Fell, Stephen Christopher Martin

PATENT ASSIGNEE(S): Beecham Group PLC, UK

SOURCE: PCT Int. Appl., 147 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9201696	A1	19920206	WO 1991-GB1228	19910722
W: AU, CA, CS, FI, HU, JP, KR, NO, PL, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2087967	AA	19920125	CA 1991-2087967	19910722
AU 9182224	A1	19920218	AU 1991-82224	19910722
AU 648329	B2	19940421		
ZA 9105725	A	19920624	ZA 1991-5725	19910722
EP 540609	A1	19930512	EP 1991-913583	19910722
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 63628	A2	19930928	HU 1993-177	19910722
JP 05509305	T2	19931222	JP 1991-512368	19910722
JP 2851428	B2	19990127		
AT 185567	E	19991015	AT 1991-913583	19910722
ES 2137162	T3	19991216	ES 1991-913583	19910722
CN 1060469	A	19920422	CN 1991-105783	19910724
CN 1061046	B	20010124		
NO 9300226	A	19930323	NO 1993-226	19930122
US 6020329	A	20000201	US 1997-958864	19971020
CN 1223859	A	19990728	CN 1998-122407	19981114
US 6001997	A	19991214	US 1999-228138	19990111
US 6077952	A	20000620	US 1999-327667	19990608

PRIORITY APPLN. INFO.:

GB 1990-16189	A	19900724
GB 1991-9540	A	19910502
WO 1991-GB1228	A	19910722
US 1993-934667	B1	19930122
US 1995-470786	B1	19950606
US 1997-958864	A1	19971020
US 1999-228138	A1	19990111

OTHER SOURCE(S): MARPAT 116:255397

GI For diagram(s), see printed CA Issue.

AB Title compds. (I; R1 = H, MeO, HCONH; R2 = acyl; R3 = H, neg. charge, carboxy-protective group; R4 = .ltoreq.4 substituents selected from alkyl, alkenyl, OH, halo, alkoxy, etc.; X = O, CH2, SOn; n= 0-2; m = 1, 2) were prepd. Thus, Na 2-(2-tritylaminothiazol-4-yl)-2-(Z)-trityloxyiminoacetate was condensed with tert-butyl (6R, 7R)-7-amino-3-[(R)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylate to give, after deprotection, (6R, 7R)-7-[2-(2-aminothiazol-4-yl)-2-(Z)-hydroxyiminoacetamido]-3-[(RS)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylic acid which had MIC of 0.50 and 0.25 .mu.g/mL against Escherichia coli (NCTC 1048) and Staphylococcus aureus (Oxford), resp.

IT 141060-97-1P

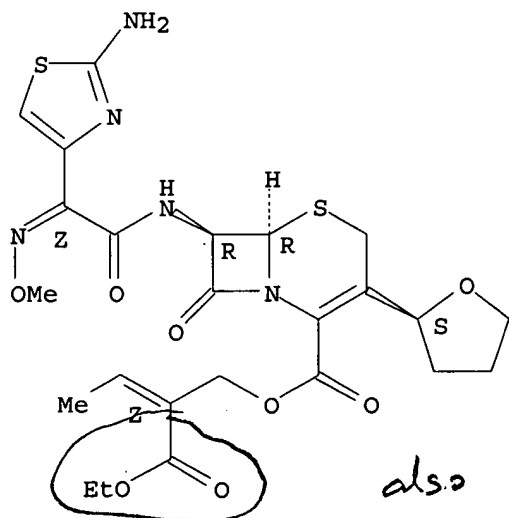
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antibiotic)

RN 141060-97-1 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-3-(tetrahydro-2-furanyl)-, 2-(ethoxycarbonyl)-2-butenyl ester, [6R-[2(Z),3(S*),6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



=>